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198030

Alpha-fluoromethyl-phenethylamine derivs. - useful as amino acid decarboxylase and monoamine oxidase inhibitors

Patent Assignee: MERRELL TORAUDE & CIE (LTOR)

Number of Countries: 011

Number of Patents: 012

Patent Family:

Patent No	Kind	Date	Applicat No	Kind	Date	Week	
BE 882104	A	19800701				198030	B
DE 3015360	A	19801106				198046	
DE 3015373	A	19801106				198046	
NL 8002418	A	19801028				198046	
NO 8001210	A	19801117				198050	
SE 8003117	A	19801124				198050	
GB 2048875	A	19801216				198051	
JP 55145641	A	19801113				198103	
DK 8001809	A	19810202				198109	
FR 2457277	A	19810123				198111	
ZA 8001117	A	19810119				198112	
IT 1143941	B	19861029				198831	

Priority Applications (No Type Date): US 7934018 A 19790426

Abstract (Basic): BE 882104 A

Phenethylamines of formula Ar-CH₂-CHY-NHR₁ (I) and their salts and optical isomers are claimed. In (I) Y is CH₂F, CHF₂, or CF₃.

R₁ is H, 2-5C alkanoyl, 2-5C alkocycarbonyl or COCH(NH₂)R₇; where

R₇ is H, 1-4C alkyl, benzyl or p-hydroxybenzyl. Ar is

2-hydroxy-3-methyl-, 3-hydroxy-4-methyl-, 2-hydroxy-4-methyl-,

2-hydroxy-3-methoxy-, 2,4-dihydroxy-, 2-hydroxy-6-methyl-,

2-hydroxy-4-methoxy-, 2,5-dihydroxy-, 2,4-dihydroxy-3-methyl- or

2,6-dihydroxy-phenyl. 1-Fluoromethyl-2-(4-hydroxyphenyl)ethylamine is

also claimed as is prepn. of cpds. of formula Ar'CH₂-CH(CHF₂)-NH₂ (Ia).

In (Ia) Ar' is phenyl opt. substd. e.g. 3,4-methylenedioxy-, 4-OR₈,

3-OR₈, 3,4-di-OR₈, etc.

Cpds. (I) and (Ia) inhibit the decarboxylase enzymes involved in conversion of aromatic amino acids to corresp. amines; they can be used in the treatment of Parkinson's disease, depression, rheumatoid arthritis, carcinoid syndrome, asthma, psychoses, etc. They are also monoamine, oxidase inhibitors useful as antidepressants, anorectic agents, analgesic and hypotensives. Cpds. where R₁ = H can also be used as intermediates for new cephalosporin antibiotics.

Title Terms: ALPHA; FLUOROMETHYL; PHENYLETHYL; AMINE; DERIVATIVE; USEFUL; AMINO; ACID; DECARBOXYLASE; MONO; AMINE; OXIDASE; INHIBIT

Index Terms/Additional Words: CEPHALOSPORIN

Derwent Class: B05

International Patent Class (Additional): A61K-031/13; C07C-031/13;
C07C-087/28; C07C-091/30; C07C-093/14; C07C-103/37; C07C-125/06;
C07D-317/46; C07D-501/00

File Segment: CPI

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B10-D03; B12-C04; B12-C06; B12-C10; B12-D01; B12-D02; B12-D03; B12-D09;
B12-F05; B12-G01; B12-G07; B12-J02; B12-K02

Chemical Fragment Codes (M2):

01 H1 H6 M121 M129 M136 M139 M149 M282 M283 M210 M220 M231 M232 M233
M240 M260 M270 M281 M311 M312 M313 M314 M315 M332 M331 M334 M333
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